# PATENT COOPERATION TREATY

### From the INTERNATIONAL BUREAU

### **PCT**

### **NOTIFICATION OF ELECTION**

(PCT Rule 61.2)

ANANTHAN, Subramaniam

To:

Commissioner
US Department of Commerce
United States Patent and Trademark
Office, PCT
2011 South Clark Place Room
CP2/5C24
Arlington, VA 22202

Date of mailing (day/month/year)

11 July 2001 (11.07.01)

International application No.
PCT/US00/22094

International filing date (day/month/year)
14 August 2000 (14.08.00)

Applicant

ETATS-UNIS D'AMERIQUE
in its capacity as elected Office

Applicant's or agent's file reference
1381/00055

Priority date (day/month/year)
13 August 1999 (13.08.99)

	13 March 2001 (13.03.01)	■ The second of
in a notice effect	ting later election filed with the International Bureau on:	
		<del>-</del> 
The election X v	vas	,
ليا	vas not	grand and the second
made before the expira	ation of 19 months from the priority date or, where Rule 32 app	lies, within the time limit under
Rule 32.2(b).		
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The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland

**Authorized officer** 

H. Zhou

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## PATENT COOPERATION TREATY

# **PCT**

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## INTERNATIONAL PRELIMINARY EXAMINATION REPORTS

PCi

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 1381/00055	FOR FURTHER ACTION	See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416		
International application No.	International filing date (day/mon	/month/year) Priority date (day/month/year		
PCT/US00/22094	14 August 2000 (14.08.2000)		13 August 1999 (13.08.1999)	
International Patent Classification (IPC)	or national classification and IPC			
IPC(7): A61K 31/485; C07D 489/08, 49	01/22, 495/18, 495/22; A61P 25/04	, 37/02 and US	Cl.: 514/279; 546/39, 40	
Applicant			·	
SOUTHERN RESEARCH INSTITUTE		·		
1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.				
2. This REPORT consists of	a total of $\underline{3}$ sheets, including	this cover shee	et.	
This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).				
These annexes consist of a	total of sheets.			
3. This report contains indica	tions relating to the following is	tems:		
I Basis of the report				
II Priority				
III Non-establishme	ent of report with regard to nove	elty, inventive	step and industrial applicability	
IV Lack of unity of	invention			
V Reasoned statem				
	ations and explanations support			
VI Certain documents cited			٥	
VII Certain defects in the international application				
VIII Certain observations on the international application				
Date of submission of the demand  Date of completion of this report				
13 March 2001 (13.03.2001) 09 October 2001 (09.10.2001)				
, -				
Facsimile No. (703)305-3230  Form PCT/IPEA/409 (cover sheet) (luly 1998)				

International application No.	
PCT/US00/22	
PCT/US00/22	

I.	Basis of the report
1.	With regard to the elements of the international application:*
	the international application as originally filed.
	the description:
	pages 1-26 as originally filed
	pages NONE , filed with the demand pages NONE , filed with the letter of .
	the claims:
	pages 27-29 , as originally filed pages NONE , as amended (together with any statement) under Article 19
	pages NONE, filed with the demand
	pages NONE, filed with the letter of
	the drawings:
	pages NONE , as originally filed pages NONE , filed with the demand
	pages NONE , filed with the demand pages NONE , filed with the letter of .
	the sequence listing part of the description:
	pages NONE, as originally filed pages NONE, filed with the demand
	pages NONE , filed with the letter of
	With regard to the language, all the elements marked above were available or furnished to this Authority in the
	anguage in which the international application was filed, unless otherwise indicated under this item.
	These elements were available or furnished to this Authority in the following language which is:
	the language of a translation furnished for the purposes of international search (under Rule23.1(b)).
	the language of publication of the international application (under Rule 48.3(b)).
	the language of the translation furnished for the purposes of international preliminary examination (under Rules 55.2 and/or 55.3).
3	With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the
	nternational preliminary examination was carried out on the basis of the sequence listing:
	contained in the international application in printed form.
	filed together with the international application in computer readable form.
	furnished subsequently to this Authority in written form.
	furnished subsequently to this Authority in computer readable form.
	The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
	The statement that the information recorded in computer readable form is identical to the written sequence listin has been furnished.
4.	The amendments have resulted in the cancellation of
	the description, pages NONE
	the claims, Nos. NONE
	the drawings, sheets/fig NONE
5.	This report has been established as if (some of) the amendments had not been made, since they have been considered to go
	beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).**
this	eplacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to i report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17). ny replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.

International application No.	_
PCT/US00/22	

V.	Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability;
	citations and explanations supporting such statement

1. STATEMENT		
Novelty (N)	Claims 1-16	YES
	Claims NONE	NO
Inventive Step (IS)	Claims NONE	YES
	Claims 1-16	NO
Industrial Applicability (IA)	Claims 1-16	YES
	Claims NONE	NO

### 2. CITATIONS AND EXPLANATIONS

17 に対する

Claims 1-16 lack an inventive step under PCT Article 33(3) as being obvious over WO96/02545 (SMITHKLINE BEECHAM FARMACEUTICI S.P.A.) wherein a morphinoid compound is generically disclosed. The instant compound I is encompassed by the product compound of Scheme 8 (page 7) whereas the instant compound II is embraced by the product compound of Scheme 6 (page 6), wherein R1 is cyclopropylmethyl, R2 and R3 are hydroxy, R4 and R5 together form an oxy, R6 is hydrogen and at least one of X, Y, R in compound I is other than hydrogen. To one of ordinary skill in the art, choosing one among many is prima facie obvious in the absence of unexpected results.

Claims 1-16 meet the criteria set out in PCT Article 33(2), because the prior art does not specifically teaches the instant compound of formula I or II.

Claims 1-16 meet the criteria set out in PCT Article 33(4), because the inventive compound finds industrial applicability as an immuno-modulatory agent, and as an agent in the treatment of pain or drug abuse.



## INTERNATIONAL SEARCH REPORT

International application No. PCT/US00/22094

A. CLASSIFICATION OF SUBJECT MATTER  IPC(7) : Please See Extra Sheet.				
US CL: :514/279; 546/39, 40 According to International Patent Classification (IPC) or to both national classification and IPC				
B. FIELDS SEARCHED	our national classification and IPC			
Minimum documentation searched (classification system follo	and by designation and tall			
U.S. : 514/279; 546/39, 40	wed by classification symbols)			
Downwards and data to the state of the state				
Documentation searched other than minimum documentation to	the extent that such documents are included	in the fields searched		
Electronic data base consulted during the international search CAS ONLINE	(name of data base and, where practicable	e, search terms used)		
CAB CIVERVE				
C. DOCUMENTS CONSIDERED TO BE RELEVANT				
Category* Citation of document, with indication, where	appropriate, of the relevant passages	Relevant to claim No.		
X WO 96/02545 A1 (SMITHKLINE E S.P.A.) 01 February 1996, see entire 7, Scheme 5, Scheme 6 and Scheme	document, especially pages 6-	1-16		
A US 5,223,507 A (DAPPEN et al.) document, especially columns 13-22,	US 5,223,507 A (DAPPEN et al.) 29 June 1993, see entire document, especially columns 13-22, Table I.			
A US 4,816,586 A (PORTOGHESE) 2	US 4,816,586 A (PORTOGHESE) 28 March 1989, columns 1-2.			
	·			
Further documents are listed in the continuation of Box	C. See patent family annex.			
Special categories of cited documents:	*T* later document published after the inte	mational filing data or priority		
'A' document defining the general state of the art which is not considered to be of particular relevance	date and not in conflict with the appli the principle or theory underlying the	invention		
B* earlier document published on or after the international filing date	"X" document of particular relevance; the considered novel or cannot be considered.	claimed invention cannot be red to involve an inventive aten		
'L' document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)	"Y" document of particular relevance; the	· ·		
document referring to an oral disclosure, use, exhibition or other combined with one or more other such documents, such combination being obvious to a person skilled in the art				
P document published prior to the internstional filing date but later than "A." document member of the same patent family the priority date claimed				
Date of the actual completion of the international search  Date of mailing of the international search report				
18 SEPTEMBER 2000 13 OCT 2000				
Name and mailing address of the ISA/US Commissioner of Patents and Trademarks  Authorized officer				
Box PCT				
Washington, D.C. 20231 Facsimile No. (703) 305-3230	Telephone No. (703) 308-1235			



## INTERNATIONAL SEARCH REPORT



International application No. PCT/US00/22094

A. CLASSIFICATION OF SUBJECT MATTER: IPC (7):				
A61K 31/485; C07D 489/08, 491/1	8, 491/22, 495/18, 495/2	2; A61P 25/04, 37	7/02	
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